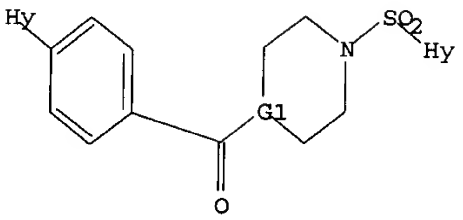

G1 C,N

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED -     182 TO ITERATE

100.0% PROCESSED      182 ITERATIONS                              6 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         2831 TO     4449
PROJECTED ANSWERS:               6 TO      266

L2             6 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 13:10:42 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    4023 TO ITERATE

100.0% PROCESSED     4023 ITERATIONS                           127 ANSWERS
SEARCH TIME: 00.00.01

L3           127 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY     SESSION
FULL ESTIMATED COST                             148.15      148.36

FILE 'CAPLUS' ENTERED AT 13:10:48 ON 09 JUN 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)
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FILE COVERS 1907 - 9 Jun 2003  VOL 138 ISS 24
FILE LAST UPDATED: 8 Jun 2003  (20030608/ED)
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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 9 L3

=> d l4 1-9 ibib abs hitstr

L4 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:675809 CAPLUS
DOCUMENT NUMBER: 137:206568
TITLE: Solid dispersion compositions containing hydroxypropyl methyl cellulose phthalate
INVENTOR(S): Bateman, Nicola; Cahill, Julie
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 20 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002067904	A1	20020906	WO 2002-SE327	20020225

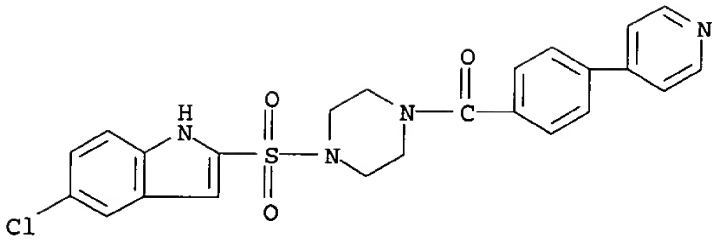
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2001-4752 A 20010227

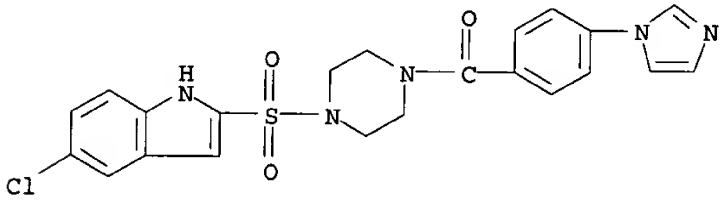
AB The invention relates to pharmaceutical compns., in particular, oral compns. which comprise a solid dispersion of a hydroxypropyl Me cellulose phthalate polymer, preferably HP-55 or HP-55S, and a drug which has pH-sensitive soly. 1-(6-Chloronaphth-2-ylsulfonyl)-4-[4-(4-pyridyl)benzoyl]piperazine-HCl 0.5 g, and 2.5 g polymer (HP-55S) were dissolved in 63 mL MeOH/CH2Cl2 (1:1). The solvent was removed and the formulation was dried under high vacuum at 40.degree. for 24 h. The formulation was then dry milled, and dried for a further 24 h under high vacuum. The formulations were weighed into hard gelatin capsules and dissolved in 0.1N HCl for 1 h at 37.degree.. All solid dispersion formulations show a significant improvement over the drug in suspension. A redn. in the levels of supersatn. (percent released) was seen as the amt. of polymer present in the formulation was decreased.

IT **249292-02-2 249292-05-5 329761-78-6**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(solid dispersion compns. contg. hydroxypropyl Me cellulose phthalate)

RN 249292-02-2 CAPLUS
CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)



RN 249292-05-5 CAPLUS
CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(1H-imidazol-1-yl)benzoyl]- (9CI) (CA INDEX NAME)



RN 329761-78-6 CAPLUS
CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]-, monomethanesulfonate (9CI) (CA INDEX NAME)

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CRN 249292-02-2
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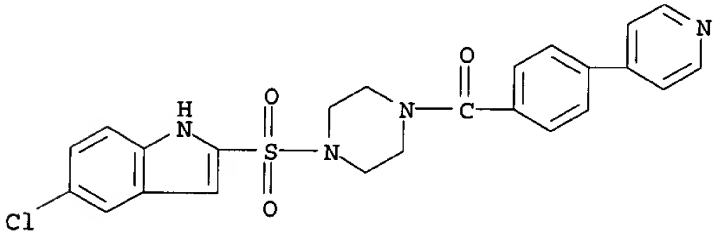
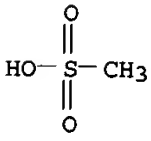


CM 2

CRN 75-75-2
CMF C H4 O3 S



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:368345 CAPLUS
DOCUMENT NUMBER: 136:374861
TITLE: Oral pharmaceutical composition containing a block copolymer
INVENTOR(S): Bateman, Nicola; Cahill, Julie
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 18 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002038184	A1	20020516	WO 2001-SE2470	20011107
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002014466	A5	20020521	AU 2002-14466	20011107

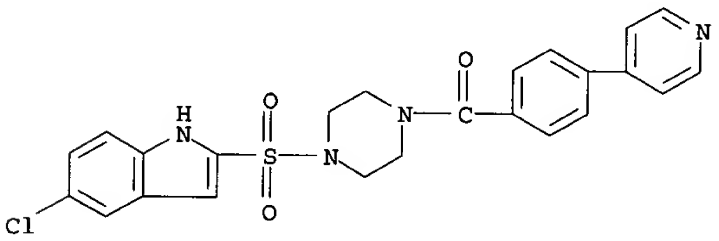
PRIORITY APPLN. INFO.:

GB 2000-27375	A	20001109
GB 2001-4751	A	20010227
WO 2001-SE2470	W	20011107

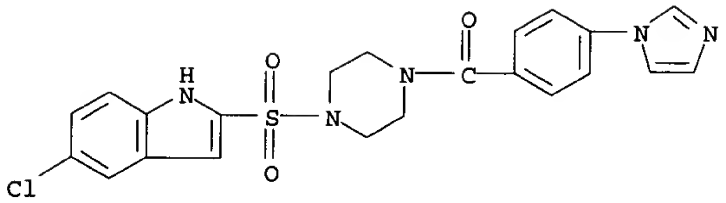
AB Oral pharmaceutical compns. with improved bioavailability comprise a water miscible micelle-forming block copolymer and a drug. The copolymer can be a diblock, triblock, or multiblock copolymer. A block segment may be, e.g., poly(L-lactide), poly(D-, L-, or DL-lactic acid) or polyethylene glycol.

IT **249292-02-2 249292-05-5**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (prepn. of oral pharmaceutical compn. contg. block copolymers with improved bioavailability)

RN 249292-02-2 CAPLUS
CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)



RN 249292-05-5 CAPLUS
CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(1H-imidazol-1-yl)benzoyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:256243 CAPLUS
DOCUMENT NUMBER: 136:294851
TITLE: Preparation of piperazine (hetero)aryl ketones and sulfones as factor Xa inhibitors for treatment of thrombosis or coagulation disorders
INVENTOR(S): Zhu, Bing-Yan; Jia, Zhaozhong Jon; Zhang, Penglie; Huang, Wenrong; Wu, Yanhong; Zuckett, Jingmei Fan; Goldman, Erik A.; Wang, Lingyan; Song, Yonghong; Scarborough, Robert M.
PATENT ASSIGNEE(S): Cor Therapeutics, Inc., USA
SOURCE: PCT Int. Appl., 128 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002026720	A2	20020404	WO 2001-US30315	20011001
WO 2002026720	A3	20021031		

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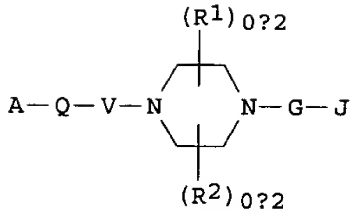
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-236161P P 20000929
OTHER SOURCE(S): MARPAT 136:294851
GI



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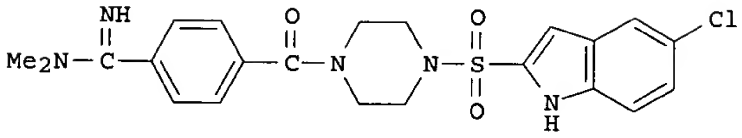


II

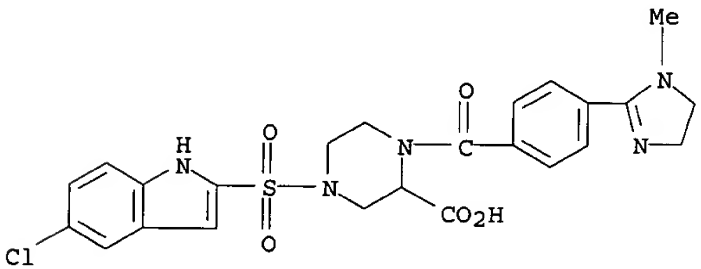
AB Title compds. I [wherein A = (un)substituted imidazolinyl, tetrahydropyrimidinyl, tetrahydro-1H-1,3-diazepinyl, imidamido(alkyl), guanidinyl, amino(alkyl), ammoniomethyl, Ph, pyridinyl, etc.; Q = (un)substituted phenylene, pyrimidinediyl, pyridinediyl, pyrazinediyl, pyrroledlyl, furandiyl, thiophenediyl, piperidinediyl, or pyrrolidinediyl; V = CH2 or CO; G = CO or SO2; J = (un)substituted naphthyl, (iso)quinolinyl, quinazolinyl, indolyl, benzothiophenyl, benzofuranyl, benzimidazolyl, benzothiazolyl, benzoxazolyl, etc.; R1 and R2 = independently H, alkyl, hydroxyalkyl, aminoalkyl, cyanoalkyl, carboxyalkyl, alkoxycarbonylalkyl, or carbamoylalkyl; and pharmaceutically acceptable isomers, salts, hydrates, solvates, and prodrugs thereof] were prepd. For example, 1-Boc-5-chloro-2-indolylsulfonyl chloride was coupled with 1-Boc-piperazine in DCM in the presence of pyridine to give the sulfonamide (95%). Deprotection using HCl gas (99%), followed by acylation with 4-cyanobenzoyl chloride in pyridine in the presence of DMAP (73%) and treatment with HCl and dimethylamine, afforded II. I are highly selective inhibitors of factor Xa and are useful for the treatment of diseases characterized by undesired thrombosis or coagulation disorders (no data).

IT **406717-76-8P**

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(factor Xa inhibitor; prepn. of piperazine (hetero)aryl ketones and sulfones as factor Xa inhibitors for treatment of thrombosis or coagulation disorders)

RN 406717-76-8 CAPLUS

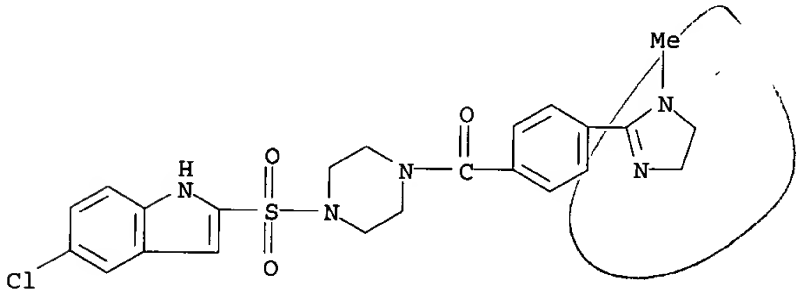
CN 2-Piperazinecarboxylic acid, 4-[(5-chloro-1H-indol-2-yl)sulfonyl]-1-[4-(4,5-dihydro-1-methyl-1H-imidazol-2-yl)benzoyl]- (9CI) (CA INDEX NAME)



IT **406714-42-9P 406714-43-0P 406714-44-1P**

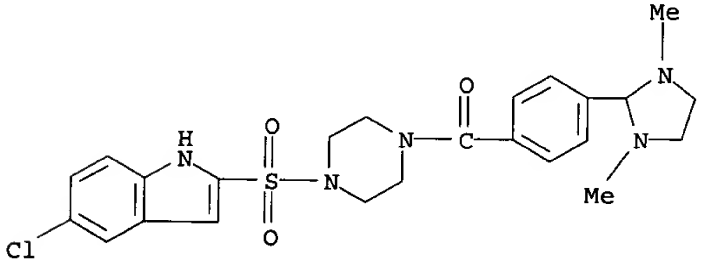
406714-45-2P 406714-46-3P 406714-47-4P
406714-48-5P 406714-49-6P 406714-53-2P
406714-57-6P 406714-78-1P 406714-79-2P
406714-80-5P 406714-81-6P 406714-82-7P
406714-83-8P 406714-84-9P 406714-85-0P
406715-31-9P 406715-32-0P 406715-46-6P
406715-47-7P 406715-70-6P 406715-71-7P
406715-78-4P 406715-79-5P 406717-06-4P
406717-17-7P 406717-41-7P 406717-53-1P
406717-87-1P 406718-11-4P 406718-23-8P
406718-35-2P 406718-36-3P 406718-37-4P
406718-38-5P 406718-39-6P 406718-40-9P
406718-42-1P 406718-43-2P 406718-44-3P
406718-45-4P 406718-46-5P 406718-47-6P
406718-48-7P 406718-49-8P 406718-50-1P
406718-51-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(factor Xa inhibitor; prepn. of piperazine (hetero)aryl ketones and sulfones as factor Xa inhibitors for treatment of thrombosis or coagulation disorders)

RN 406714-42-9 CAPLUS
CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(4,5-dihydro-1-methyl-1H-imidazol-2-yl)benzoyl]- (9CI) (CA INDEX NAME)



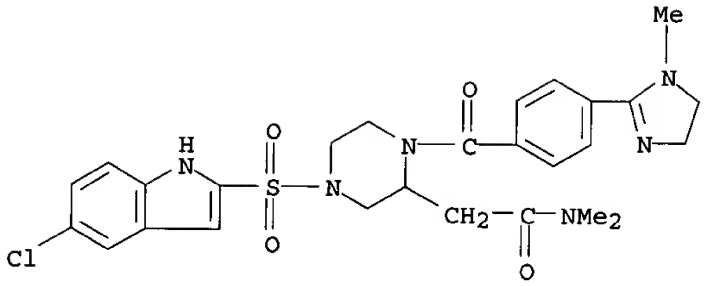
not heteroaryl

RN 406714-43-0 CAPLUS
CN 1H-Imidazolium, 2-[4-[[4-[(5-chloro-1H-indol-2-yl)sulfonyl]-1-piperazinyl]carbonyl]phenyl]-4,5-dihydro-1,3-dimethyl- (9CI) (CA INDEX NAME)

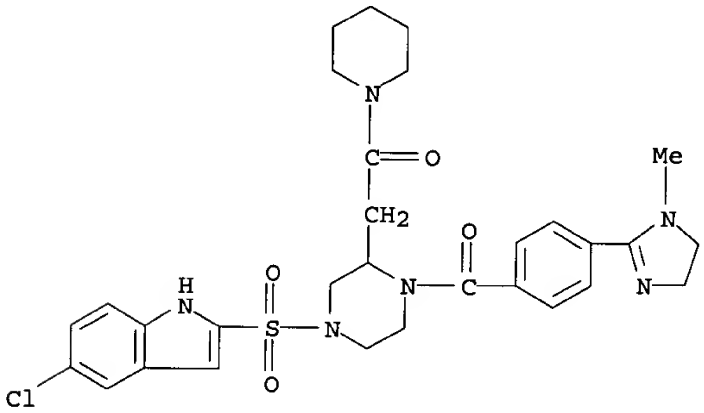


*** FRAGMENT DIAGRAM IS INCOMPLETE ***

RN 406714-44-1 CAPLUS
CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(1-ethyl-4,5-dihydro-1H-imidazol-2-yl)benzoyl]- (9CI) (CA INDEX NAME)



RN 406718-51-2 CAPLUS
CN Piperazine, 4-[(5-chloro-1H-indol-2-yl)sulfonyl]-1-[4-(4,5-dihydro-1-methyl-1H-imidazol-2-yl)benzoyl]-2-[2-oxo-2-(1-piperidinyl)ethyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:923631 CAPLUS
DOCUMENT NUMBER: 136:31689
TITLE: A combination product comprising melagatran and a Factor Xa inhibitor
INVENTOR(S): Mattsson, Christer
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

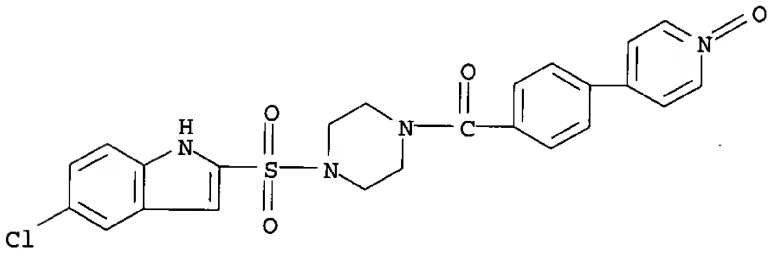
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001095931	A1	20011220	WO 2001-SE1288	20010606

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

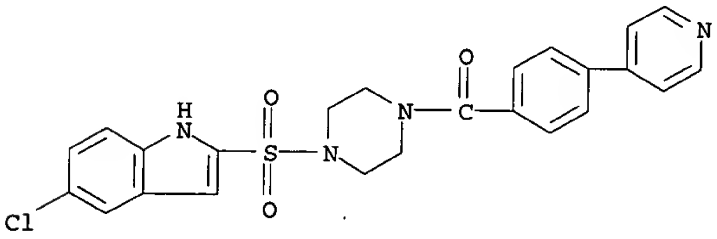
EP 1294394 A1 20030326 EP 2001-938923 20010606
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
NO 2002005909 A 20030207 NO 2002-5909 20021209
PRIORITY APPLN. INFO.: GB 2000-14136 A 20000610
WO 2001-SE1288 W 20010606
OTHER SOURCE(S): MARPAT 136:31689

AB A combination product (a kit) is provided comprising: (A) melagatran or a pharmaceutically-acceptable deriv. thereof; and (B) a Factor Xa inhibitor or a pharmaceutically-acceptable deriv. thereof, wherein each component is formulated in admixt. with a pharmaceutically-acceptable adjuvant, diluent or carrier. The components A and B are suitable for sequential, sep., and/or simultaneous use in the treatment of a condition where anticoagulant therapy is indicated, such as thrombosis and hypercoagulability, or conditions where there is an undesirable excess of thrombin without signs of hypercoagulability, e.g., neurodegenerative diseases. For example, melagatran and the Factor Xa inhibitor YM 60828 showed synergistic effect in vitro when they are tested in a prothrombin time clotting assay.

IT **259803-11-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(combination product comprising melagatran and factor Xa inhibitor as anticoagulants)
RN 259803-11-7 CAPLUS
CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(1-oxido-4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)



IT **249292-02-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(combination product comprising melagatran and factor Xa inhibitor as anticoagulants)
RN 249292-02-2 CAPLUS
CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:185746 CAPLUS
DOCUMENT NUMBER: 134:227351
TITLE: Piperazine derivatives as inhibitors of factor Xa
INVENTOR(S): James, Roger; Ashford, Marianne Bernice
PATENT ASSIGNEE(S): Astrazeneca UK Limited, UK
SOURCE: PCT Int. Appl., 15 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001017990	A1	20010315	WO 2000-GB2770	20000719

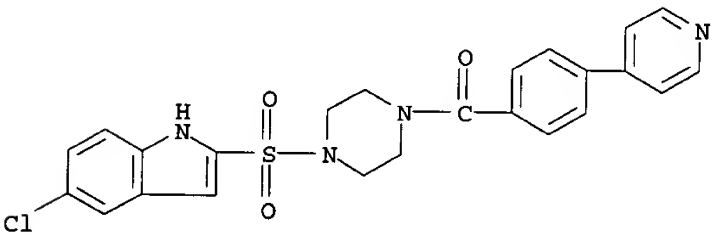
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 1999-17344 A 19990724

AB The invention relates to pharmaceutically-acceptable salts of 1-(5-chloroindol-2-ylsulfonyl)-4-[4-(4-pyridyl)benzoyl] piperazine (I) and reduced particle sized forms of either the compd. or a pharmaceutically-acceptable salt thereof, which possess antithrombotic and anticoagulant properties and accordingly are useful in methods of treatment of humans or animals. The invention also relates to processes for the prepn. of pharmaceutically-acceptable salts of the above compd. and reduced particle size forms thereof, to pharmaceutical compns. contg. them and to their use in the manuf. of medicaments for use in the prodn. of an antithrombotic or anticoagulant effect in humans. I.methane sulfonate was prepd. by the reaction of I with methane sulfonic acid. A tablet contained I.methane sulfonate 1.0, lactose 93.25, croscarmellose sodium 4.0, maize starch paste 0.75, and magnesium stearate 1.0 mg.

IT **249292-02-2**
RL: RCT (Reactant); THU (Therapeutic use); BIOL (Biological study); RACT (Reactant or reagent); USES (Uses)
(piperazine derivs. as inhibitors of factor Xa)

RN 249292-02-2 CAPLUS
CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)



IT **329761-78-6P**

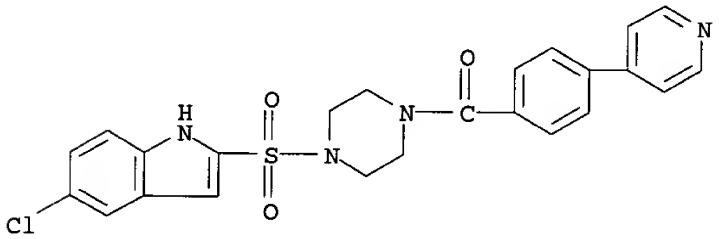
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(piperazine derivs. as inhibitors of factor Xa)

RN 329761-78-6 CAPLUS

CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]-, monomethanesulfonate (9CI) (CA INDEX NAME)

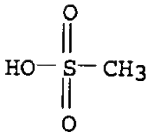
CM 1

CRN 249292-02-2
CMF C24 H21 Cl N4 O3 S



CM 2

CRN 75-75-2
CMF C H4 O3 S



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:911244 CAPLUS
DOCUMENT NUMBER: 134:71608
TITLE: Preparation of 4-{4-[4-(5-chloroindol-2-ylsulfonyl)piperazine-1-carbonyl]phenyl}pyridine-1-oxide as factor Xa inhibitor
INVENTOR(S): James, Roger
PATENT ASSIGNEE(S): Astrazeneca UK Limited, UK
SOURCE: PCT Int. Appl., 13 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000078749	A1	20001228	WO 2000-GB2319	20000614

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,

LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

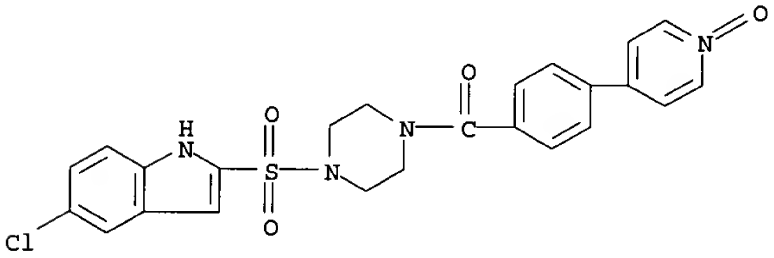
PRIORITY APPLN. INFO.: GB 1999-14342 A 19990619

AB The title 4-{4-[4-(5-chloroindol-2-ylsulfonyl)piperazine-1-carbonyl]phenyl}pyridine-1-oxide (I) which possesses antithrombotic and anticoagulant properties, was prepd. by treatment of 1-(5-chloroindol-2-ylsulfonyl)-4-[4-(4-pyridyl)benzoyl]piperazine with 3-chloroperoxybenzoic acid in CH2Cl2. The compd. I showed an IC50 of 0.008 .mu.M in vitro assay for Factor Xa inhibition.

IT **259803-11-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 4-{4-[4-(5-chloroindol-2-ylsulfonyl)piperazine-1-carbonyl]phenyl}pyridine-1-oxide as factor Xa inhibitor)

RN 259803-11-7 CAPLUS

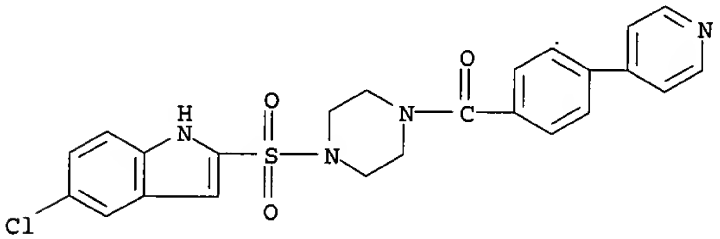
CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(1-oxido-4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)



IT **249292-02-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of 4-{4-[4-(5-chloroindol-2-ylsulfonyl)piperazine-1-carbonyl]phenyl}pyridine-1-oxide as factor Xa inhibitor)

RN 249292-02-2 CAPLUS

CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:133658 CAPLUS
DOCUMENT NUMBER: 132:194391
TITLE: Preparation of sulfonyl moiety-containing heterocyclic

compounds as factor Xa inhibitors

INVENTOR(S): Kobayashi, Syozo; Komoriya, Satoshi; Haginoya, Noriyasu; Suzuki, Masanori; Yoshino, Toshiharu; Nagahara, Takayasu; Nagata, Tsutomu; Horino, Haruhiko; Ito, Masayuki; Mochizuki, Akiyoshi

PATENT ASSIGNEE(S): Daiichi Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 883 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000009480	A1	20000224	WO 1999-JP4344	19990811
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
JP 2000119253	A2	20000425	JP 1999-226878	19990810
CA 2340100	AA	20000224	CA 1999-2340100	19990811
AU 9951963	A1	20000306	AU 1999-51963	19990811
EP 1104754	A1	20010606	EP 1999-937024	19990811
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2000143623	A2	20000526	JP 1999-242814	19990830

PRIORITY APPLN. INFO.:
JP 1998-227449 A 19980811
JP 1998-244175 A 19980828
JP 1998-251674 A 19980904
WO 1999-JP4344 W 19990811

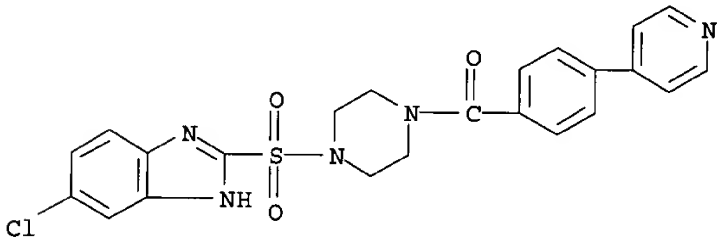
OTHER SOURCE(S): MARPAT 132:194391

AB The title compds. Q1Q2T1Q3SO2QA [wherein Q1 is an optionally substituted, satd. or unsatd., five- or six-membered cyclic hydrocarbon group, a five- or six-membered heterocyclic group, or the like; Q2 is a single bond, oxygen, sulfur, C1-C6 alkylene or the like; Q3 is a heterocyclic ring (represented by several generic structures); QA is optionally substituted arylalkenyl, heteroarylalkenyl or the like; and T1 is carbonyl or the like] are prepd. These compds. have potent factor Xa inhibiting effects and promptly exert satisfactory and persistent antithrombotic effects through oral administration, thus being useful as anticoagulant agents little accompanied with side effects. Several compds. of this invention in vitro showed IC50 values of 0.7 nM to 4.7 nM against factor Xa.

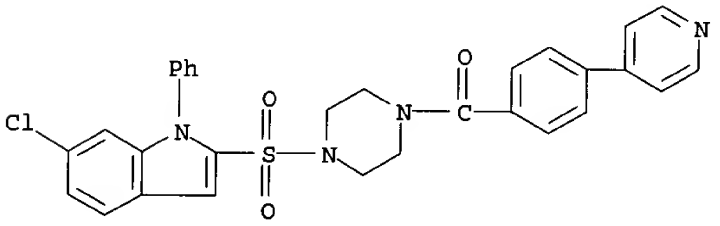
IT **249292-07-7P 259802-86-3P 259802-87-4P**
259802-88-5P 259802-89-6P 259802-90-9P
259802-91-0P 259802-92-1P 259802-94-3P
259802-95-4P 259802-96-5P 259802-97-6P
259802-98-7P 259802-99-8P 259803-00-4P
259803-01-5P 259803-02-6P 259803-03-7P
259803-04-8P 259803-05-9P 259803-07-1P
259803-08-2P 259803-10-6P 259803-11-7P
259803-12-8P 259803-13-9P 259803-14-0P
259803-15-1P 259803-16-2P 259803-17-3P
259803-18-4P 259803-19-5P 259803-20-8P
259803-21-9P 259803-22-0P 259803-23-1P
259803-24-2P 259803-31-1P 259803-32-2P
259803-78-6P 259803-79-7P 259804-14-3P

259804-15-4P 259805-03-3P 259805-04-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of sulfonyl moiety-contg. heterocyclic compds. as factor Xa inhibitors)

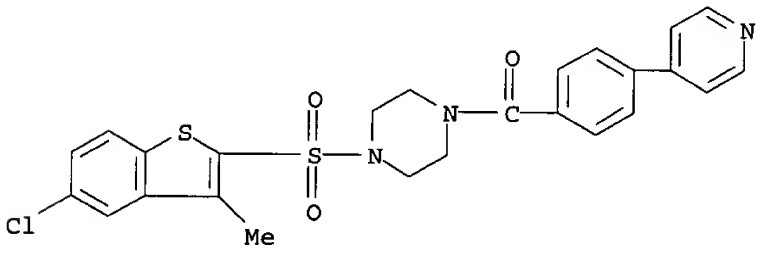
RN 249292-07-7 CAPLUS
CN Piperazine, 1-[(5-chloro-1H-benzimidazol-2-yl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)



RN 259802-86-3 CAPLUS
CN Piperazine, 1-[(6-chloro-1-phenyl-1H-indol-2-yl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)

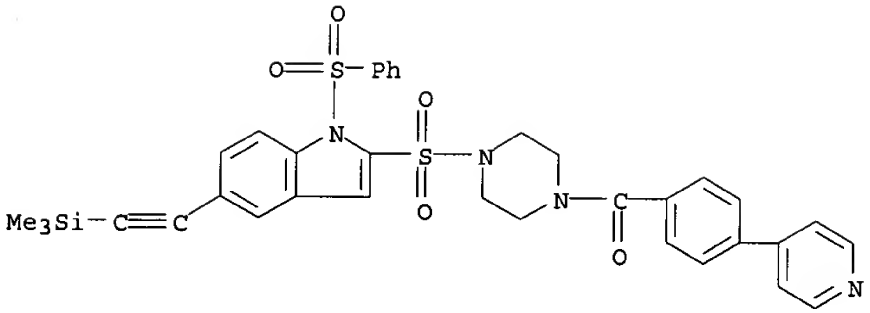


RN 259802-87-4 CAPLUS
CN Piperazine, 1-[(5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]-, monohydrochloride (9CI) (CA INDEX NAME)

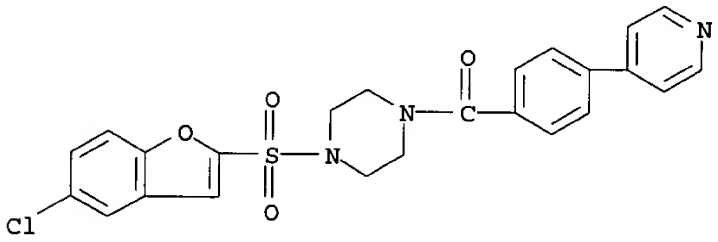


● HCl

RN 259802-88-5 CAPLUS
CN Piperazine, 1-[[1-(phenylsulfonyl)-5-[(trimethylsilyl)ethynyl]-1H-indol-2-yl]sulfonyl]-4-[4-(4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)

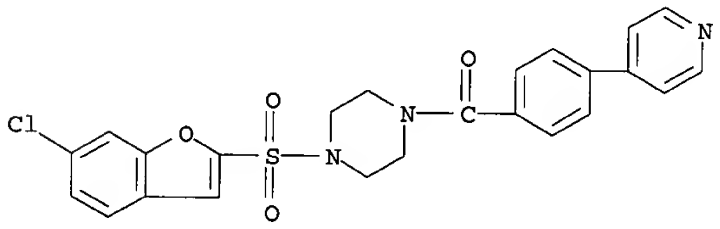


RN 259802-89-6 CAPLUS
CN Piperazine, 1-[(5-chloro-2-benzofuranyl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]-, monohydrochloride (9CI) (CA INDEX NAME)



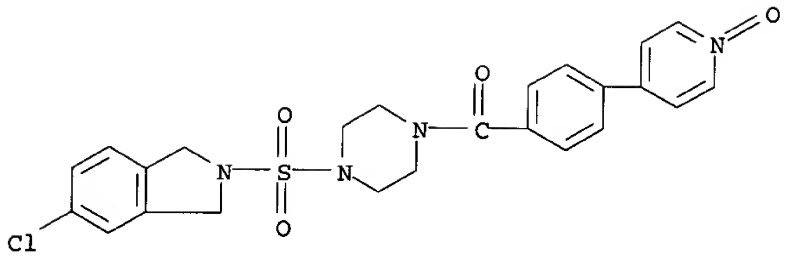
● HCl

RN 259802-90-9 CAPLUS
CN Piperazine, 1-[(6-chloro-2-benzofuranyl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]-, monohydrochloride (9CI) (CA INDEX NAME)

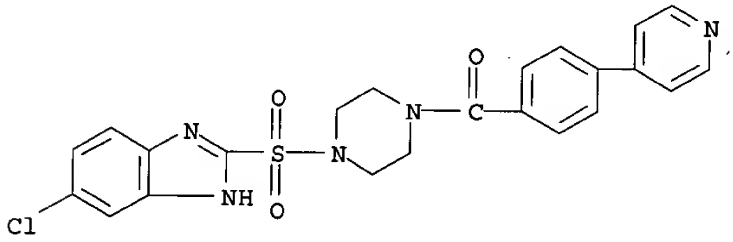


● HCl

RN 259802-91-0 CAPLUS
CN Piperazine, 1-[[5-chloro-1-(phenylsulfonyl)-1H-indol-2-yl]sulfonyl]-4-[4-(4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)

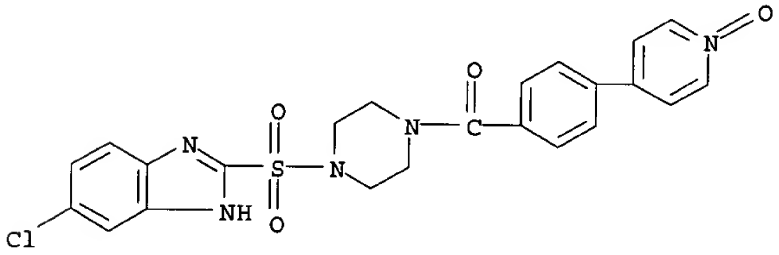


RN 259805-03-3 CAPLUS
CN Piperazine, 1-[(5-chloro-1H-benzimidazol-2-yl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 259805-04-4 CAPLUS
CN Piperazine, 1-[(5-chloro-1H-benzimidazol-2-yl)sulfonyl]-4-[4-(1-oxido-4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 67 THERE ARE 67 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1999:723030 CAPLUS
DOCUMENT NUMBER: 131:322629
TITLE: Preparation of 1-heteroarylsulfonyl-4-heteroarylbenzoylpiperazines and analogs as Factor Xa inhibitors
INVENTOR(S): Caulkett, Peter William Rodney; James, Roger; Pearson, Stuart Eric; Slater, Anthony Michael; Walker, Rolf Peter
PATENT ASSIGNEE(S): Zeneca Limited, UK
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2

09/288,556

present application

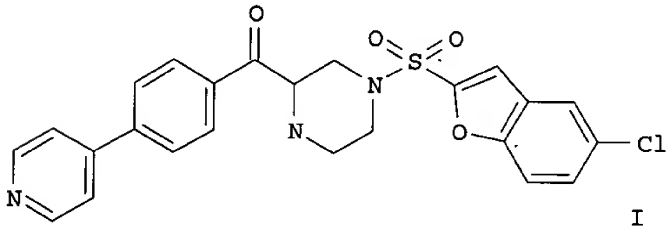
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9957113	A1	19991111	WO 1999-GB1308	19990427
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2331042	AA	19991111	CA 1999-2331042	19990427
AU 9936206	A1	19991123	AU 1999-36206	19990427
AU 754453	B2	20021114		
BR 9910179	A	20010109	BR 1999-10179	19990427
EP 1082321	A1	20010314	EP 1999-918178	19990427
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
EE 200000527	A	20020215	EE 2000-527	19990427
NZ 507835	A	20030131	NZ 1999-507835	19990427
NO 2000005497	A	20001221	NO 2000-5497	20001101

PRIORITY APPLN. INFO.:

GB 1998-9351	A	19980502
GB 1999-3337	A	19990216
WO 1999-GB1308	W	19990427

OTHER SOURCE(S): MARPAT 131:322629
GI



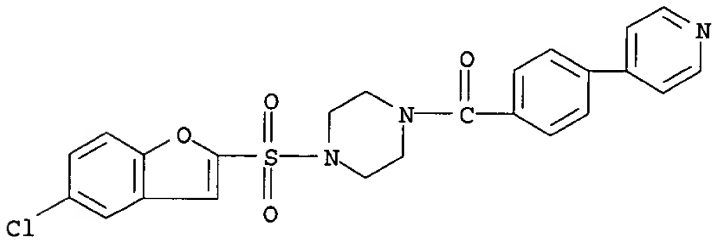
I

AB RZCOZ1SO2R1 [R = (un)substituted heteroaryl; R1 = (un)substituted 2-indolyl, -2-benzimidazolyl, -2-benzo[b]furanyl, etc.; Z = (un)substituted 1,4-phenylene; Z1 = (un)substituted piperidine-4,1-diyl or -piperazine-1,4-diyl] were prepd. Thus, 5-chlorobenzo[b]furan-2-sulfonyl chloride was amidated by piperazine and the product amidated by 4-(4-pyridyl)benzoic acid to give title compd. I. Data for biol. activity of I were given.

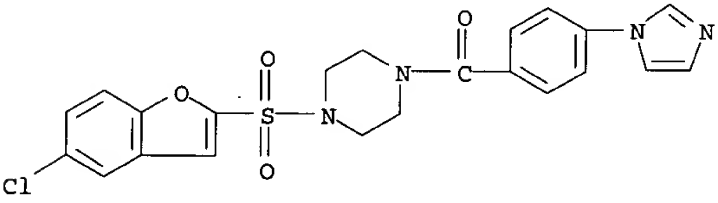
IT **207798-76-3P 249292-01-1P 249292-02-2P**
249292-03-3P 249292-04-4P 249292-05-5P
249292-06-6P 249292-07-7P 249292-09-9P
249292-10-2P 249292-11-3P 249292-12-4P
249292-13-5P 249292-14-6P 249292-15-7P
249292-16-8P 249292-17-9P 249292-18-0P
249292-19-1P 249292-20-4P 249292-21-5P
249292-22-6P 249292-23-7P 249292-24-8P
249292-26-0P 249292-27-1P 249292-28-2P
249292-29-3P 249292-30-6P 249292-31-7P

249292-32-8P 249292-33-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 1-heteroarylsulfonyl-4-heteroarylbenzoylpiperazines and analogs as Factor Xa inhibitors)

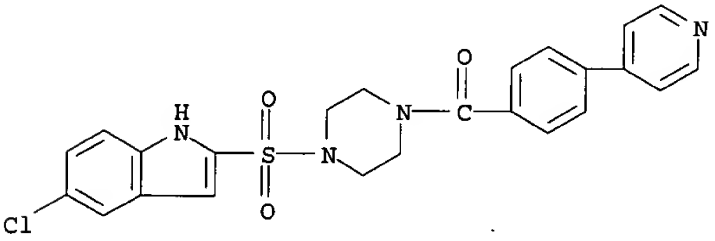
RN 207798-76-3 CAPLUS
CN Piperazine, 1-[(5-chloro-2-benzofuranyl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)



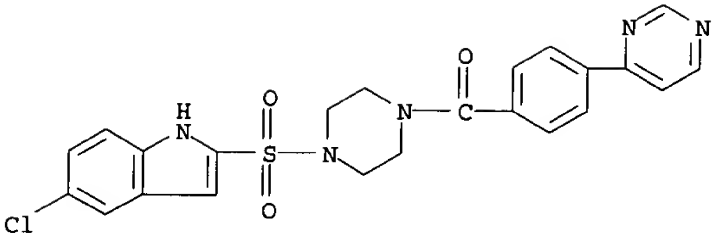
RN 249292-01-1 CAPLUS
CN Piperazine, 1-[(5-chloro-2-benzofuranyl)sulfonyl]-4-[4-(1H-imidazol-1-yl)benzoyl]- (9CI) (CA INDEX NAME)



RN 249292-02-2 CAPLUS
CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)



RN 249292-03-3 CAPLUS
CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(4-pyrimidinyl)benzoyl]- (9CI) (CA INDEX NAME)



RN 249292-04-4 CAPLUS
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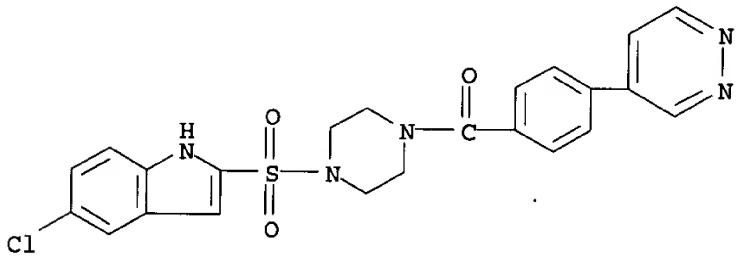
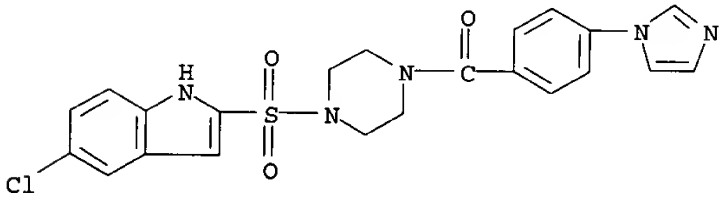
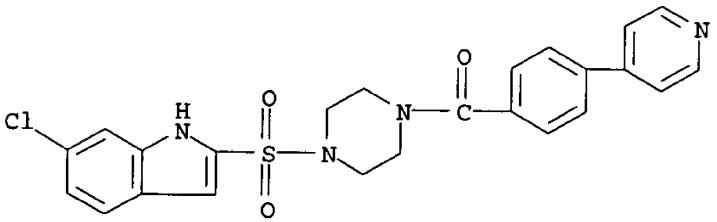


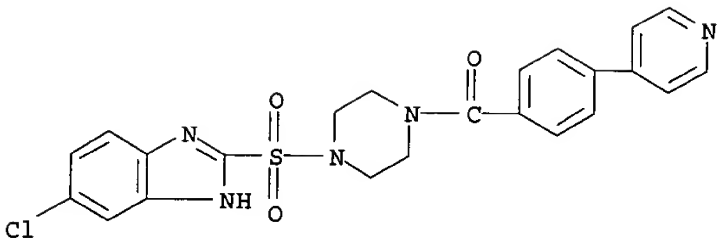
RN 249292-05-5 CAPLUS
CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(1H-imidazol-1-yl)benzoyl]- (9CI) (CA INDEX NAME)



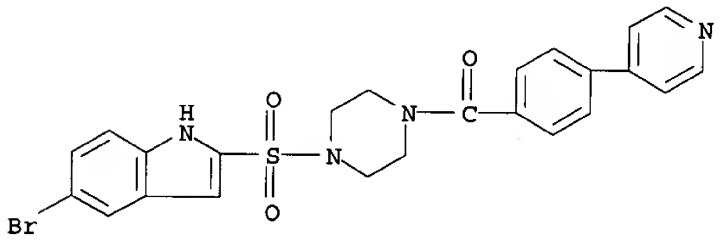
RN 249292-06-6 CAPLUS
CN Piperazine, 1-[(6-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)



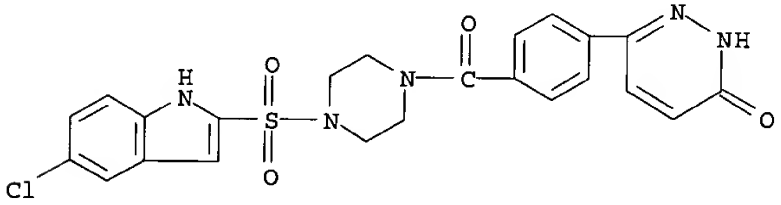
RN 249292-07-7 CAPLUS
CN Piperazine, 1-[(5-chloro-1H-benzimidazol-2-yl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)



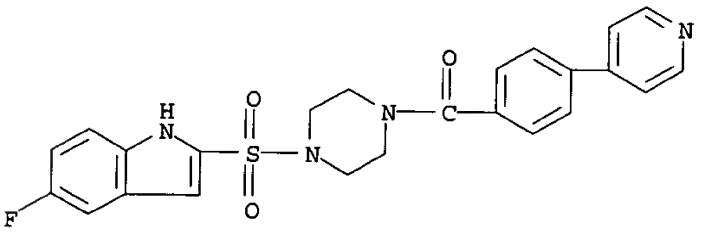
RN 249292-09-9 CAPLUS
CN Piperazine, 1-[(5-bromo-1H-indol-2-yl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)



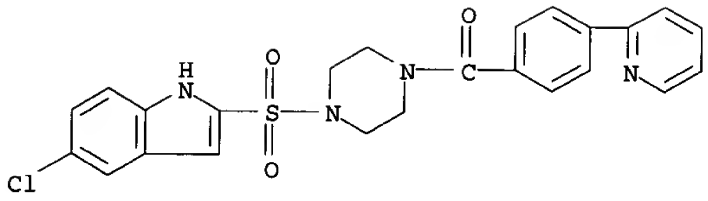
RN 249292-10-2 CAPLUS
CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(1,6-dihydro-6-oxo-3-pyridazinyl)benzoyl]- (9CI) (CA INDEX NAME)



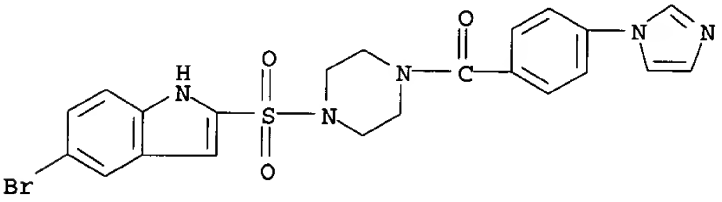
RN 249292-11-3 CAPLUS
CN Piperazine, 1-[(5-fluoro-1H-indol-2-yl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)



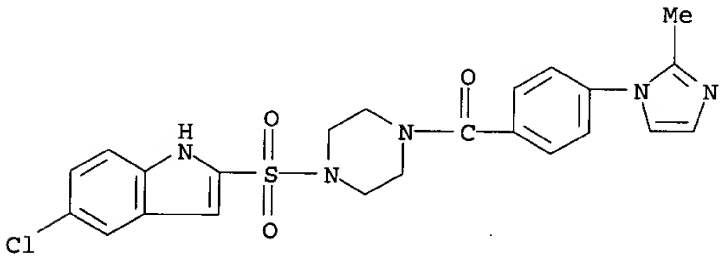
RN 249292-12-4 CAPLUS
CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(2-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)



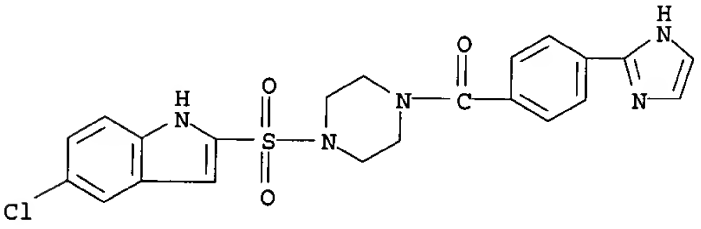
RN 249292-13-5 CAPLUS
CN Piperazine, 1-[(5-bromo-1H-indol-2-yl)sulfonyl]-4-[4-(1H-imidazol-1-yl)benzoyl]- (9CI) (CA INDEX NAME)



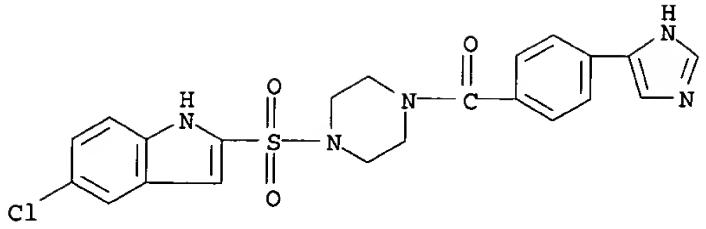
RN 249292-14-6 CAPLUS
CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(2-methyl-1H-imidazol-1-yl)benzoyl]- (9CI) (CA INDEX NAME)



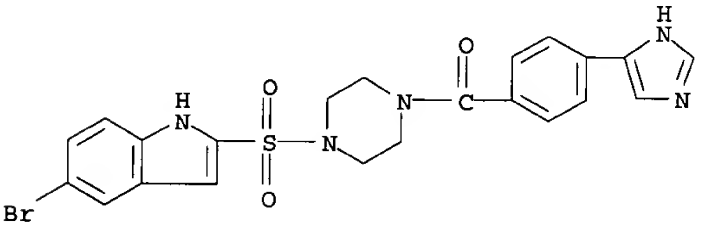
RN 249292-15-7 CAPLUS
CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(1H-imidazol-2-yl)benzoyl]- (9CI) (CA INDEX NAME)



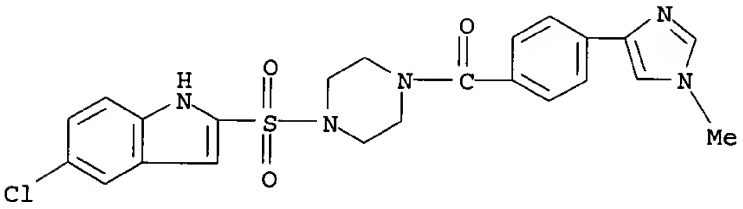
RN 249292-16-8 CAPLUS
CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(1H-imidazol-4-yl)benzoyl]- (9CI) (CA INDEX NAME)



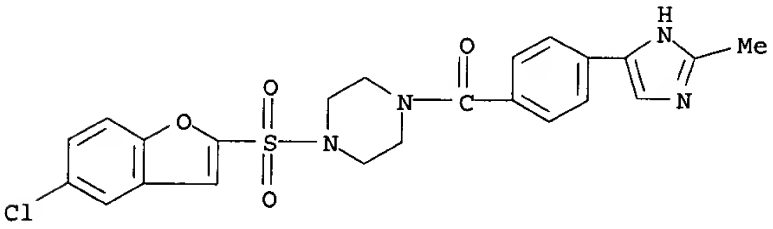
RN 249292-17-9 CAPLUS
CN Piperazine, 1-[(5-bromo-1H-indol-2-yl)sulfonyl]-4-[4-(1H-imidazol-4-yl)benzoyl]- (9CI) (CA INDEX NAME)



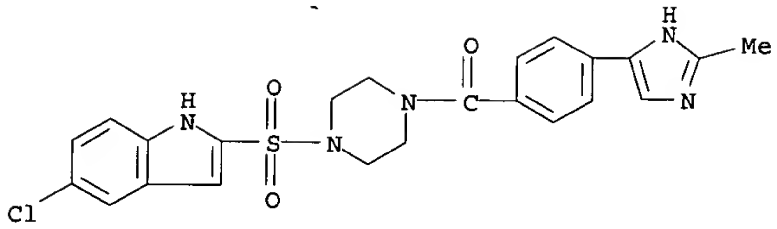
RN 249292-18-0 CAPLUS
CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(1-methyl-1H-imidazol-4-yl)benzoyl]- (9CI) (CA INDEX NAME)



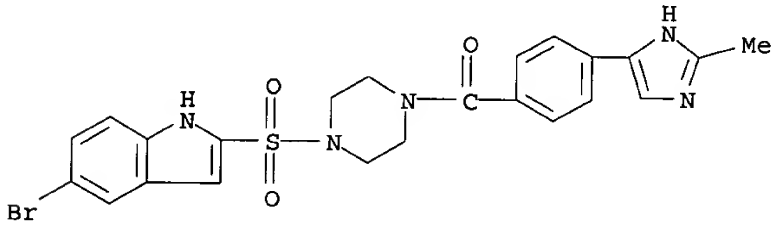
RN 249292-19-1 CAPLUS
CN Piperazine, 1-[(5-chloro-2-benzofuranyl)sulfonyl]-4-[4-(2-methyl-1H-imidazol-4-yl)benzoyl]- (9CI) (CA INDEX NAME)



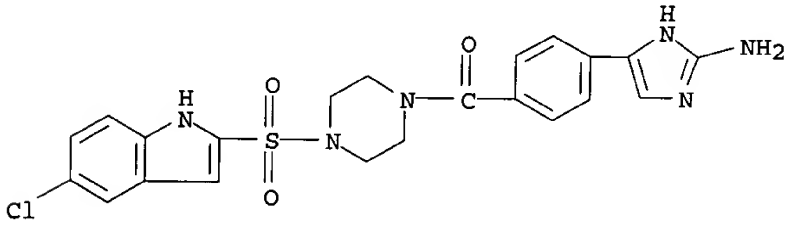
RN 249292-20-4 CAPLUS
CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(2-methyl-1H-imidazol-4-yl)benzoyl]- (9CI) (CA INDEX NAME)



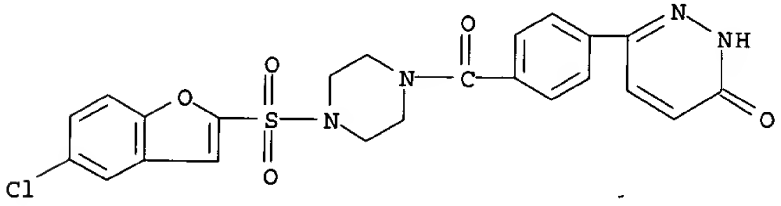
RN 249292-21-5 CAPLUS
CN Piperazine, 1-[(5-bromo-1H-indol-2-yl)sulfonyl]-4-[4-(2-methyl-1H-imidazol-4-yl)benzoyl]- (9CI) (CA INDEX NAME)



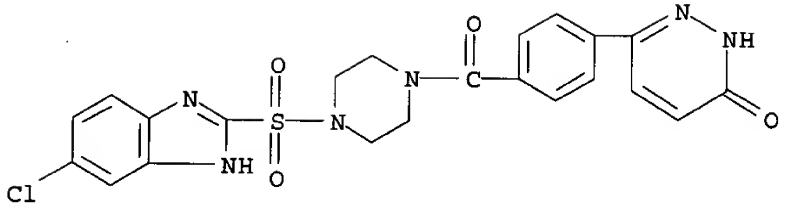
RN 249292-22-6 CAPLUS
CN Piperazine, 1-[4-(2-amino-1H-imidazol-4-yl)benzoyl]-4-[(5-chloro-1H-indol-2-yl)sulfonyl]- (9CI) (CA INDEX NAME)



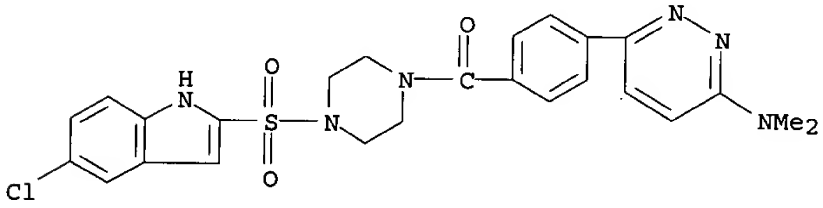
RN 249292-23-7 CAPLUS
CN Piperazine, 1-[(5-chloro-2-benzofuranyl)sulfonyl]-4-[4-(1,6-dihydro-6-oxo-3-pyridazinyl)benzoyl]- (9CI) (CA INDEX NAME)



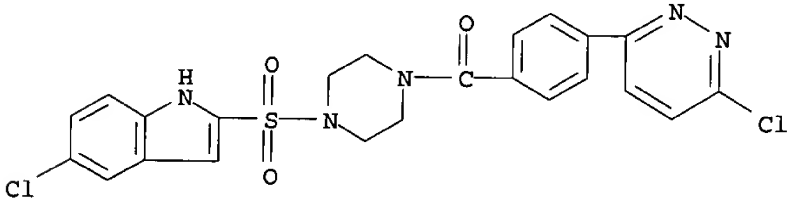
RN 249292-24-8 CAPLUS
CN Piperazine, 1-[(5-chloro-1H-benzimidazol-2-yl)sulfonyl]-4-[4-(1,6-dihydro-6-oxo-3-pyridazinyl)benzoyl]- (9CI) (CA INDEX NAME)



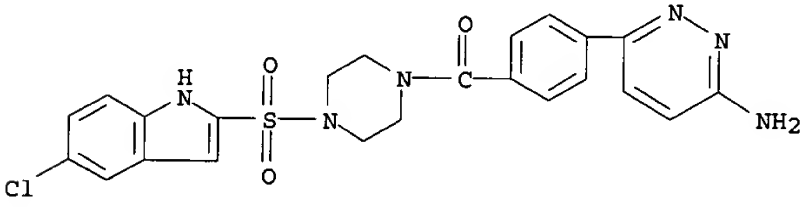
RN 249292-26-0 CAPLUS
CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-[6-(dimethylamino)-3-pyridazinyl]benzoyl]- (9CI) (CA INDEX NAME)



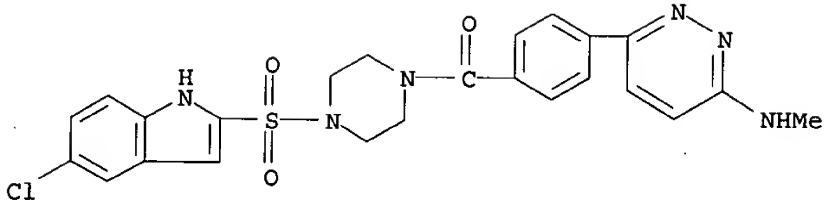
RN 249292-27-1 CAPLUS
CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-(6-chloro-3-pyridazinyl)benzoyl]- (9CI) (CA INDEX NAME)



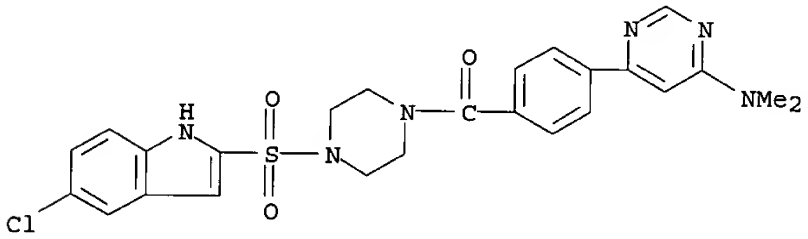
RN 249292-28-2 CAPLUS
CN Piperazine, 1-[4-(6-amino-3-pyridazinyl)benzoyl]-4-[(5-chloro-1H-indol-2-yl)sulfonyl]- (9CI) (CA INDEX NAME)



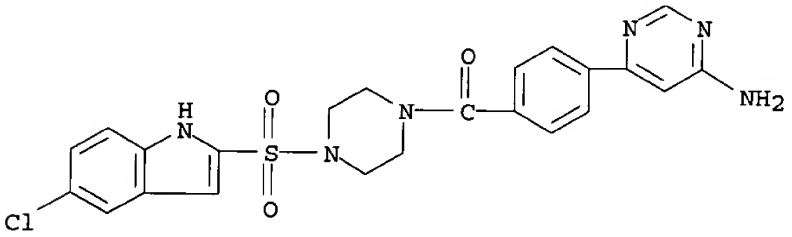
RN 249292-29-3 CAPLUS
CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-[6-(methylamino)-3-pyridazinyl]benzoyl]- (9CI) (CA INDEX NAME)



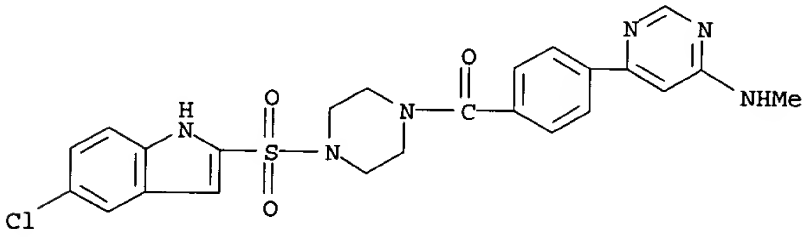
RN 249292-30-6 CAPLUS
CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-[6-(dimethylamino)-4-pyrimidinyl]benzoyl]- (9CI) (CA INDEX NAME)



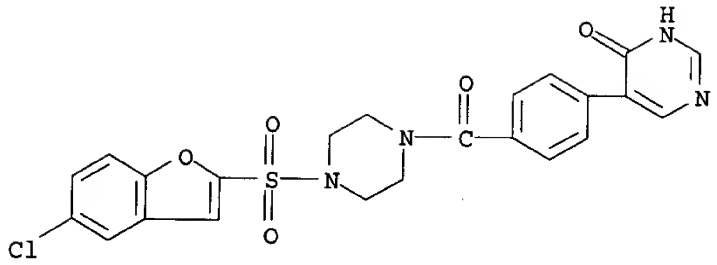
RN 249292-31-7 CAPLUS
CN Piperazine, 1-[4-(6-amino-4-pyrimidinyl)benzoyl]-4-[(5-chloro-1H-indol-2-yl)sulfonyl]- (9CI) (CA INDEX NAME)



RN 249292-32-8 CAPLUS
CN Piperazine, 1-[(5-chloro-1H-indol-2-yl)sulfonyl]-4-[4-[6-(methylamino)-4-pyrimidinyl]benzoyl]- (9CI) (CA INDEX NAME)



RN 249292-33-9 CAPLUS
CN Piperazine, 1-[(5-chloro-2-benzofuranyl)sulfonyl]-4-[4-(1,4-dihydro-4-oxo-5-pyrimidinyl)benzoyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:341547 CAPLUS
DOCUMENT NUMBER: 129:16141
TITLE: Preparation of 1-(naphthylsulfonyl)-4-benzoylpiperazines and related compounds as inhibitors of Factor Xa.
INVENTOR(S): Preston, John; Stocker, Andrew; Turner, Paul; Smithers, Michael James; Rayner, John Wall
PATENT ASSIGNEE(S): Zeneca Ltd., UK; Preston, John; Stocker, Andrew; Turner, Paul; Smithers, Michael James; Rayner, John Wall
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9821188	A1	19980522	WO 1997-GB3033	19971104
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9748748	A1	19980603	AU 1997-48748	19971104
AU 731929	B2	20010405		
EP 937048	A1	19990825	EP 1997-911333	19971104
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9712672	A	19991026	BR 1997-12672	19971104
CN 1235597	A	19991117	CN 1997-199426	19971104
NZ 334710	A	20001124	NZ 1997-334710	19971104
JP 2001504113	T2	20010327	JP 1998-522274	19971104
TW 458968	B	20011011	TW 1997-86116467	19971105
ZA 9710062	A	19980508	ZA 1997-10062	19971107
NO 9902230	A	19990507	NO 1999-2230	19990507
KR 2000053128	A	20000825	KR 1999-704055	19990507
US 6300330	B1	20011009	US 1999-297768	19990507

PRIORITY APPLN. INFO.:
GB 1996-23283 A 19961108
GB 1997-15893 A 19970729
WO 1997-GB3033 W 19971104

OTHER SOURCE(S): MARPAT 129:16141

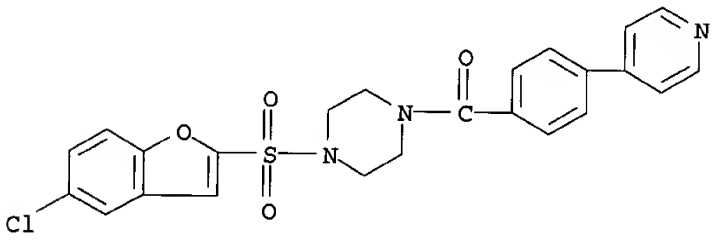
AB ABX1T1(R2)L1T2(R3)X2Q [I; A = (substituted) 5-6 membered heteroaryl; B = (substituted) phenylene; T1, T2 = CH, N; .gtoreq.1 of T1, R2 = N; X1 = SO, SO2, CO, C(R4)2, O, S; R4 = H, alkyl; L1 = alkylene, alkylenecarbonyl; R2, R3 = H, alkyl; R2R3 = alkylene, CH2CO; Q = (substituted) Ph, naphthyl, phenylalkyl, phenylalkenyl, phenylalkynyl, heterocyclyl; with provisos], were prepd. Thus, Me 4-(4-pyrimidinyl)benzoate (prepn. given) was converted to the acid chloride which was stirred with 1-(6-bromonaphth-2-ylsulfonyl)piperazine hydrochloride and Et3N in CH2Cl2 to give 1-(6-bromonaphth-2-ylsulfonyl)-4-[4-(4-pyrimidinyl)benzoyl]piperazine. I inhibited Factor Xa with IC50 = 0.001-25 .mu.M.

IT **207798-76-3P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 1-(naphthylsulfonyl)-4-benzoylpiperazines and related compds. as inhibitors of factor Xa)

RN 207798-76-3 CAPLUS

CN Piperazine, 1-[(5-chloro-2-benzofuranyl)sulfonyl]-4-[4-(4-pyridinyl)benzoyl]- (9CI) (CA INDEX NAME)



provisoed

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT